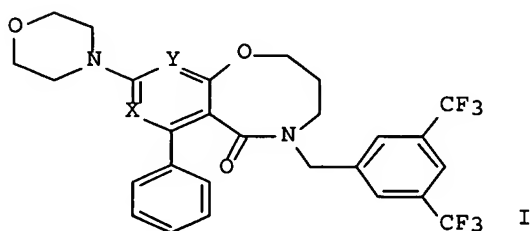


L5 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN  
 AN 2005:130314 CAPLUS Full-text  
 DN 142:373812  
 TI Design and synthesis of novel 9-substituted-7-aryl-3,4,5,6-tetrahydro-2H-pyrido[4,3-b]- and [2,3-b]-1,5-oxazocin-6-ones as NK1 antagonists  
 AU Seto, Shigeki; Tanioka, Asao; Ikeda, Makoto; Izawa, Shigeru  
 CS Discovery Research Laboratories, Ltd, Kyorin Pharmaceutical Co., Tochigi, 329-0114, Japan  
 SO Bioorganic & Medicinal Chemistry Letters (2005), 15(5), 1479-1484  
 CODEN: BMCLE8; ISSN: 0960-894X  
 PB Elsevier B.V.  
 DT Journal  
 LA English  
 GI



AB Novel 9-substituted-7-aryl-3,4,5,6-tetrahydro-2H-pyrido[4,3-b]- and [2,3-b]-1,5-oxazocin-6-ones, e.g. I (X = N, Y = CH) and I (X = CH, Y = N), were designed and prepared as part of a search for NK1 antagonists. Structure-activity relationship studies indicated that the conformational restriction resulting from the incorporation of an oxazocine ring and the presence of a terminal heteroatom on the cyclic amino group at the C-9 position play important roles in NK1 receptor recognition.

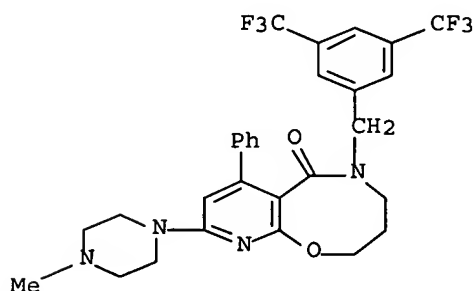
IT 849619-29-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(design and synthesis of novel 9-substituted-7-aryl-3,4,5,6-tetrahydro-2H-pyrido[4,3-b]- and [2,3-b]-1,5-oxazocin-6-ones as NK1 antagonists)

RN 849619-29-0 CAPLUS

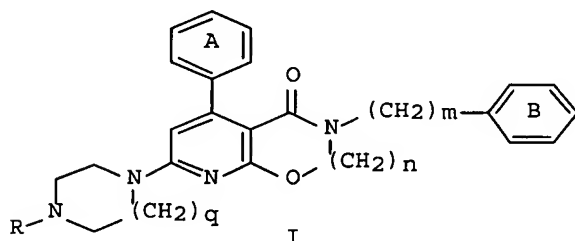
CN 6H-Pyrido[2,3-b]-1,5-oxazocin-6-one, 5-[[3,5-bis(trifluoromethyl)phenyl]methyl]-2,3,4,5-tetrahydro-9-(4-methyl-1-piperazinyl)-7-phenyl- (9CI) (CA INDEX NAME)



RE.CNT 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN  
 AN 2003:777808 CAPLUS Full-text  
 DN 139:276919  
 TI Fused bicyclic pyridine derivative as tachykinin receptor antagonist  
 IN Seto, Shigeki; Tanioka, Asao; Ikeda, Makoto; Izawa, Shigeru  
 PA Kyorin Pharmaceutical Co., Ltd., Japan  
 SO PCT Int. Appl., 56 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA Japanese  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003080626	A1	20031002	WO 2003-JP3487	20030324
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2479279	AA	20031002	CA 2003-2479279	20030324
	EP 1489083	A1	20041222	EP 2003-712827	20030324
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	US 2005101591	A1	20050512	US 2003-508783	20030324
PRAI	JP 2002-84758	A	20020326		
	WO 2003-JP3487	W	20030324		
OS	MARPAT 139:276919				
GI					



AB The patent relates to the preparation of fused bicyclic pyridine derivs. having an antagonistic effect on tachykinin receptors, especially on an NK1 receptor. The fused bicyclic pyridine derivative is represented by the general formula (I) wherein rings A and B each represents a benzene ring optionally having one to three substituents (two adjacent substituents may be bonded to each other to form a ring) each independently selected among halogen atoms, optionally substituted C1-6 alkyls, and optionally substituted C1-6 alkoxys; R = C1-6 alkylsulfonyl, C1-6 alkylcarbonyl, C1-6 alkoxycarbonyl, or formyl; m = 1 or 2; n = 2 or 3; and q = 1 or 2; or a salt of the derivative. Thus, the titled compound (where R = acetyl, q = 1, n = 2, m = 1, and A and B = unsubstituted phenyl) prepared by reacting 1-acetylpiperazine with the corresponding precursor having chloro-substitution instead of piperazinyl group of the product was tested in NK1 receptor solution and gave Kb = 0.0888 n mol./L.

IT 605671-33-8P 605671-34-9P 605671-35-0P  
 605671-36-1P 605671-37-2P 605671-38-3P  
 605671-39-4P 605671-40-7P 605671-41-8P

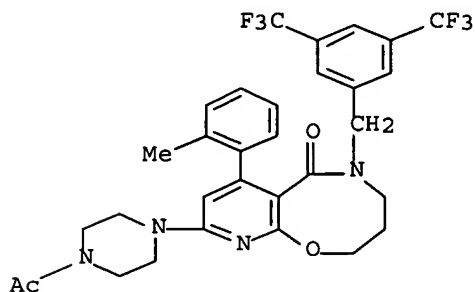
605671-42-9P 605671-43-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation of fused bicyclic pyridine derivative as tachykinin receptor antagonist)

RN 605671-33-8 CAPLUS

CN Piperazine, 1-acetyl-4-[5-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3,4,5,6-tetrahydro-7-(2-methylphenyl)-6-oxo-2H-pyrido[2,3-b]-1,5-oxazocin-9-yl]- (9CI) (CA INDEX NAME)

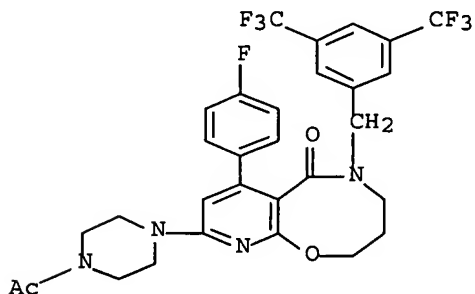


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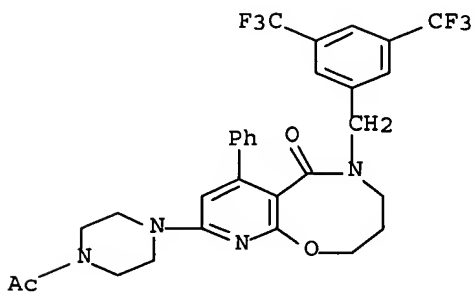
RN 605671-34-9 CAPLUS

CN Piperazine, 1-acetyl-4-[5-[[3,5-bis(trifluoromethyl)phenyl]methyl]-7-(4-fluorophenyl)-3,4,5,6-tetrahydro-6-oxo-2H-pyrido[2,3-b]-1,5-oxazocin-9-yl]- (9CI) (CA INDEX NAME)



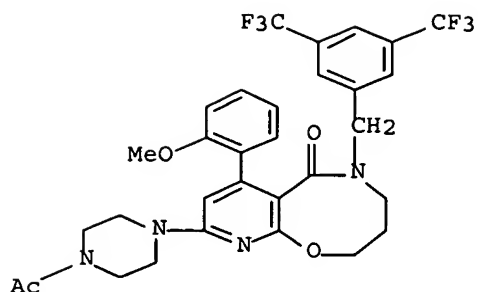
RN 605671-35-0 CAPLUS

CN Piperazine, 1-acetyl-4-[5-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3,4,5,6-tetrahydro-6-oxo-7-phenyl-2H-pyrido[2,3-b]-1,5-oxazocin-9-yl]- (9CI) (CA INDEX NAME)



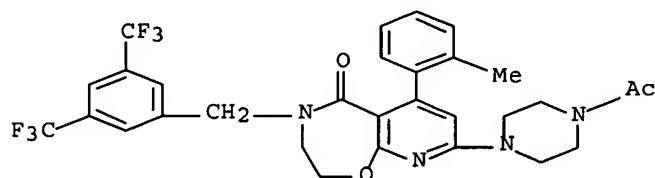
RN 605671-36-1 CAPLUS

CN Piperazine, 1-acetyl-4-[5-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3,4,5,6-tetrahydro-7-(2-methoxyphenyl)-6-oxo-2H-pyrido[2,3-b]-1,5-oxazocin-9-yl]- (9CI) (CA INDEX NAME)



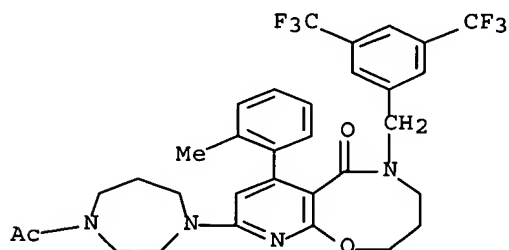
RN 605671-37-2 CAPLUS

CN Piperazine, 1-acetyl-4-[4-[[3,5-bis(trifluoromethyl)phenyl]methyl]-2,3,4,5-tetrahydro-6-(2-methylphenyl)-5-oxopyrido[3,2-f]-1,4-oxazepin-8-yl]- (9CI)  
(CA INDEX NAME)



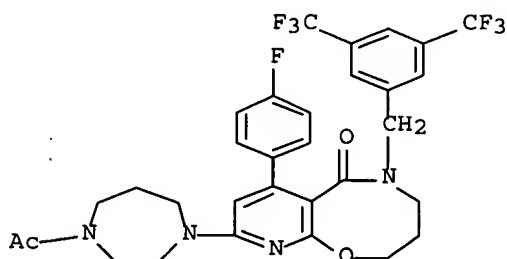
RN 605671-38-3 CAPLUS

CN 1H-1,4-Diazepine, 1-acetyl-4-[5-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3,4,5,6-tetrahydro-7-(2-methylphenyl)-6-oxo-2H-pyrido[2,3-b]-1,5-oxazocin-9-yl]hexahydro- (9CI) (CA INDEX NAME)



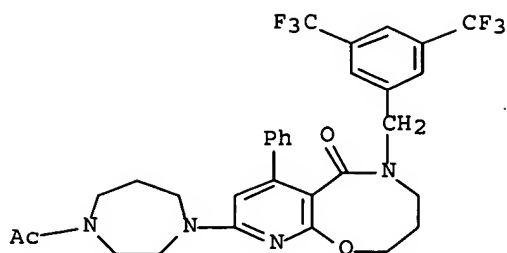
RN 605671-39-4 CAPLUS

CN 1H-1,4-Diazepine, 1-acetyl-4-[5-[[3,5-bis(trifluoromethyl)phenyl]methyl]-7-(4-fluorophenyl)-3,4,5,6-tetrahydro-6-oxo-2H-pyrido[2,3-b]-1,5-oxazocin-9-yl]hexahydro- (9CI) (CA INDEX NAME)



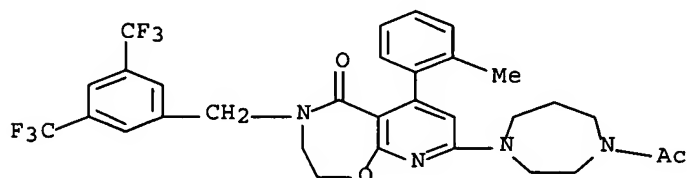
RN 605671-40-7 CAPLUS

CN 1H-1,4-Diazepine, 1-acetyl-4-[5-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3,4,5,6-tetrahydro-6-oxo-7-phenyl-2H-pyrido[2,3-b]-1,5-oxazocin-9-yl]hexahydro- (9CI) (CA INDEX NAME)



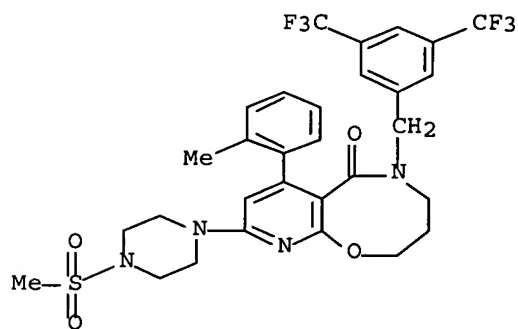
RN 605671-41-8 CAPLUS

CN 1H-1,4-Diazepine, 1-acetyl-4-[4-[[3,5-bis(trifluoromethyl)phenyl]methyl]-2,3,4,5-tetrahydro-6-(2-methylphenyl)-5-oxopyrido[3,2-f]-1,4-oxazepin-8-yl]hexahydro- (9CI) (CA INDEX NAME)



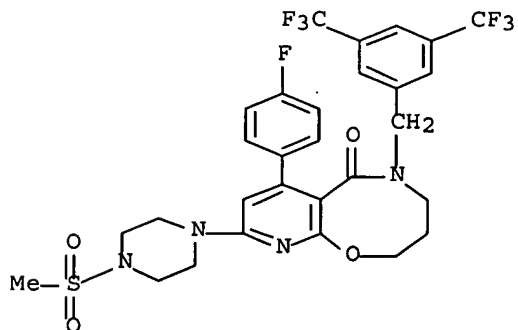
RN 605671-42-9 CAPLUS

CN Piperazine, 1-[5-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3,4,5,6-tetrahydro-7-(2-methylphenyl)-6-oxo-2H-pyrido[2,3-b]-1,5-oxazin-9-yl]-4-(methylsulfonyl)- (9CI) (CA INDEX NAME)



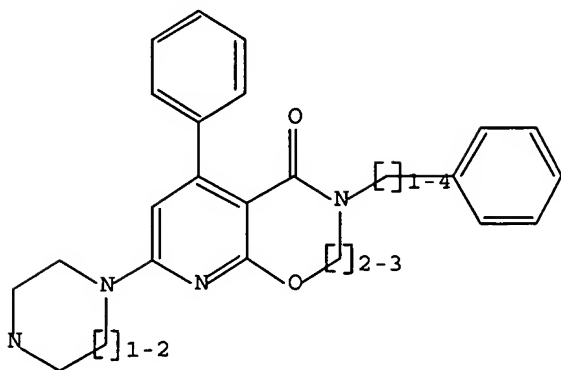
RN 605671-43-0 CAPLUS

CN Piperazine, 1-[5-[[3,5-bis(trifluoromethyl)phenyl]methyl]-7-(4-fluorophenyl)-3,4,5,6-tetrahydro-6-oxo-2H-pyrido[2,3-b]-1,5-oxazin-9-yl]-4-(methylsulfonyl)- (9CI) (CA INDEX NAME)



RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d l1; d his; log y  
 L1 HAS NO ANSWERS  
 L1 STR



Structure attributes must be viewed using STN Express query preparation.

(FILE 'HOME' ENTERED AT 18:22:34 ON 23 JUN 2005)

FILE 'REGISTRY' ENTERED AT 18:22:47 ON 23 JUN 2005

L1 STRUCTURE UPLOADED  
 L2 QUE L1  
 L3 1 S L2  
 L4 12 S L2 FUL

FILE 'CAPLUS' ENTERED AT 18:23:12 ON 23 JUN 2005

L5 2 S L4

FILE 'BEILSTEIN' ENTERED AT 18:23:39 ON 23 JUN 2005

L6 0 S L2  
 L7 0 S L2 FUL

FILE 'MARPAT' ENTERED AT 18:24:00 ON 23 JUN 2005

L8 0 S L1  
 L9 1 S L1 FUL  
 L10 0 S L9 NOT L5

COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	113.53	285.46
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
	ENTRY	SESSION
CA SUBSCRIBER PRICE	0.00	-1.46

STN INTERNATIONAL LOGOFF AT 18:24:36 ON 23 JUN 2005